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Scientific and Technical Information Center
OCT - 7 2005
SEARCH REQUEST FORM

Requester's Full Name: Sabiha Examiner #: 74141 Date: 10/7/05
Art Unit: 1616 Phone Number: 2-0622 Serial Number: 10/666,175
Location (Bldg/Room#): _____ (Mailbox #): _____ Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Novel Crystal form
Inventors (please provide full names): Pearlman et al.

Earliest Priority Date 9/19/2002

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Cl 13 - 20

Please search for steroid derivative
of cl 13 and its X-ray, and
any crystallized form.

Please see attached sheet

[Signature]

STAFF USE ONLY

Staff Use Only	Type of Search	Vendors and cost where applicable
Searcher: _____	____ NA Sequence (#)	____ STN ____ Dialog
Searcher Phone #: _____	____ AA Sequence (#)	____ Questel/Orbit ____ Lexis/Nexis
Searcher Location: _____	____ Structure (#)	____ Westlaw ____ WWW/Internet
Date Searcher Picked Up: _____	____ Bibliographic	____ In-house sequence systems
Date Completed: _____	____ Litigation	____ Commercial ____ Oligomer ____ Score/Length
Searcher Prep & Review Time: _____	____ Fulltext	____ Interference ____ SPDI ____ Encode/Transl
Online Time: _____	____ Other	____ Other (specify) _____

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(FILE 'HOME' ENTERED AT 10:31:05 ON 13 OCT 2005)

FILE 'REGISTRY' ENTERED AT 10:31:17 ON 13 OCT 2005

FILE 'HCAPLUS' ENTERED AT 10:31:23 ON 13 OCT 2005

E US2003-666175/APPS

L1 2 SEA ABB=ON PLU=ON US2003-666175/AP
SEL RN

FILE 'REGISTRY' ENTERED AT 10:32:58 ON 13 OCT 2005

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107-21-1/BI OR 108-59-8/BI OR 109-99-9/BI OR 111-96-6/BI OR
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OR 1333-82-0/BI OR 14546-48-6/BI OR 15158-12-0/BI OR 16065-88-6
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930-27-8/BI OR 95716-70-4/BI OR 95716-74-8/BI OR 95717-00-3/BI
OR 993-02-2/BI)

FILE 'HCAPLUS' ENTERED AT 10:33:06 ON 13 OCT 2005

L3 2 SEA ABB=ON PLU=ON L1 AND L2

FILE 'REGISTRY' ENTERED AT 10:33:24 ON 13 OCT 2005

L4 35 SEA ABB=ON PLU=ON L2 AND OC4/ESS AND C5/ESS AND C6/ESS

FILE 'HCAPLUS' ENTERED AT 10:34:00 ON 13 OCT 2005

L5 2 SEA ABB=ON PLU=ON L4 AND L1
D IALL HITSTR 1-2

FILE 'REGISTRY' ENTERED AT 10:42:08 ON 13 OCT 2005

L6 STR

L7 0 SEA SSS SAM L6

L8 9942 SEA ABB=ON PLU=ON OC4-C5-C6-C6-C6/ES AND OC4/ESS

L9 1518 SEA ABB=ON PLU=ON L8 AND "SPIRO"

L10 0 SEA SUB=L9 SSS SAM L6

L11 0 SEA SUB=L8 SSS SAM L6

L12 STR L6
L13 0 SEA SSS SAM L12
L14 0 SEA SUB=L8 SSS SAM L12
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DIS
L15 STR L12
L16 0 SEA SSS SAM L15
L17 STR L15
L18 0 SEA SSS SAM L17
L19 STR L17
L20 0 SEA SSS SAM L19
L21 9 SEA SSS FUL L19
D SCA
D QUE

FILE 'HCAPLUS' ENTERED AT 10:53:01 ON 13 OCT 2005

L22 4 SEA ABB=ON PLU=ON L21

FILE 'BEILSTEIN' ENTERED AT 10:53:11 ON 13 OCT 2005

L23 0 SEA SSS FUL L19

FILE 'MARPAT' ENTERED AT 10:54:07 ON 13 OCT 2005

D L17

D L15

L24 STR L12

L25 0 SEA SSS SAM L24

L26 2 SEA SSS FUL L24

L27 1 SEA ABB=ON PLU=ON L26 NOT L22

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 OCT 2005 HIGHEST RN 865114-63-2

DICTIONARY FILE UPDATES: 12 OCT 2005 HIGHEST RN 865114-63-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

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FILE COVERS 1907 - 13 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 12 Oct 2005 (20051012/ED)

New CAS Information Use Policies, enter HELP.USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.

FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
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NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,

ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT

FILE CONTENT: 1988-PRESENT (VOL 143 ISS 15) (20051007/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6916824 12 JUL 2005

DE 10359831 14 JUL 2005

EP 1550665 06 JUL 2005

JP 2005183717 07 JUL 2005

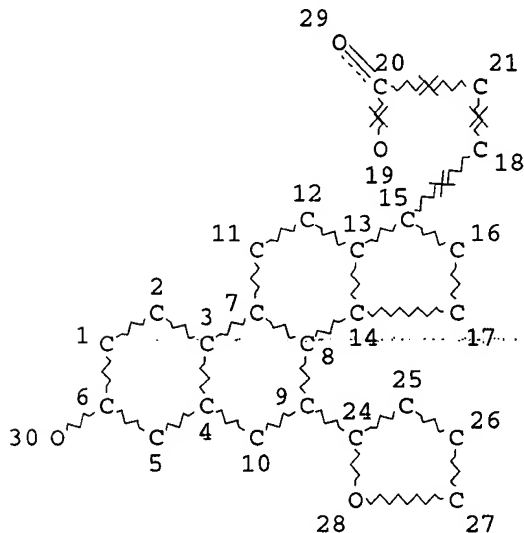
WO 2005079855 01 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que stat 122

L19 STR



NODE ATTRIBUTES:

CONNECT IS E1 RC AT 30

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L21 9 SEA FILE=REGISTRY SSS FUL L19

L22 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L21

=> d 122 ibib abs hitstr 1-4

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L22 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:2013 HCAPLUS

DOCUMENT NUMBER: 142:92333

TITLE: Microbial method for hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters

INVENTOR(S): White, Michael Jon; Beck, Doris M.; Wuts, Peter Guillaume Marie; Gilbert, Ivan Gale

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004265948	A1	20041230	US 2004-842209	20040510
WO 2005000865	A1	20050106	WO 2004-IB1987	20040614
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HW, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-482916P P 20030627
US 2003-483788P P 20030630

OTHER SOURCE(S): MARPAT 142:92333

AB A microbial method for hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters is disclosed.

IT 610785-40-5P 610785-47-2P

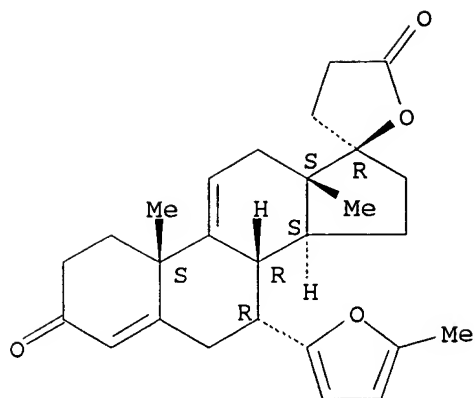
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(microbial hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters)

RN 610785-40-5 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid; 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,17 α)- (9CI) (CA INDEX NAME)

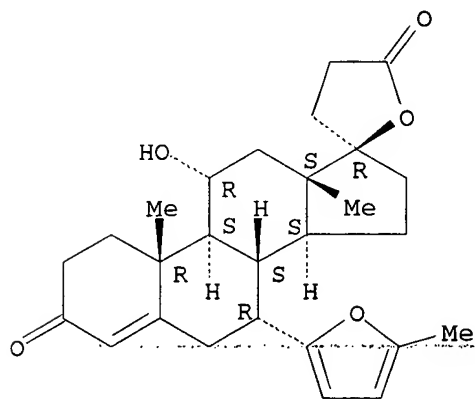
Absolute stereochemistry.



RN 610785-47-2 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:817907 HCAPLUS

DOCUMENT NUMBER: 141:314483

TITLE: Preparation of spirosteroids from 17-alkenyl or 17-alkynyl substrate via carbonylation, hydrogenation, dehydrogenation, furylation and other transformations

INVENTOR(S): Franczyk, Thaddeus S., II; Wagner, Grace M.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 177 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

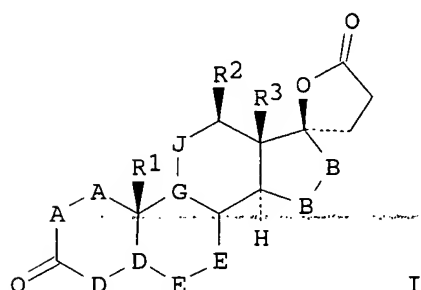
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085458	A2	20041007	WO 2004-US8629	20040322

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005090663 A1 20050428 US 2004-806081 20040322
 PRIORITY APPLN. INFO.: US 2003-456716P P 20030321
 OTHER SOURCE(S): MARPAT 141:314483
 GI



AB Steroids such as I (R1, R2, R3 = H, halo, haloalkyl, OH, alkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, CN, aryloxy; A-A, B-B, D-D, and G-J = substituted or unsubstituted double or single bond with R groups similar to those for R1, R2, R3 in the substituted case) comprising a 17-spirolactone or corresponding open lactone structure is obtained by carbonylation of a 17-alkenyl or 17-alkynyl substrate. A 17-alkenyl intermediate may be prepared by semi-hydrogenation of a 17-alkynyl group. Multiple reaction schemes are disclosed for preparation of a 3-keto-9,11-epoxy-17-spirolactone steroid such as eplerenone. Novel intermediates are also disclosed, as well as steps for forming such novel intermediates, or converting them to further intermediates or products, by semi-hydrogenation, carbonylation, 6,7-dehydrogenation, furylation or other transformations or combinations thereof.

IT 610785-40-5P

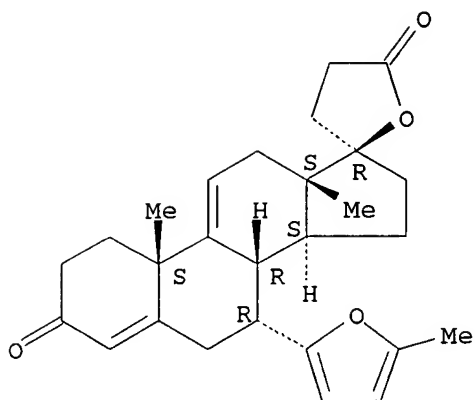
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of spiro-lactone steroid derivs. from 17-alkenyl or 17 alkynyl steroids via carbonylation, semi-hydrogenation, dehydrogenation, furylation and other transformations)

RN 610785-40-5 HCAPLUS

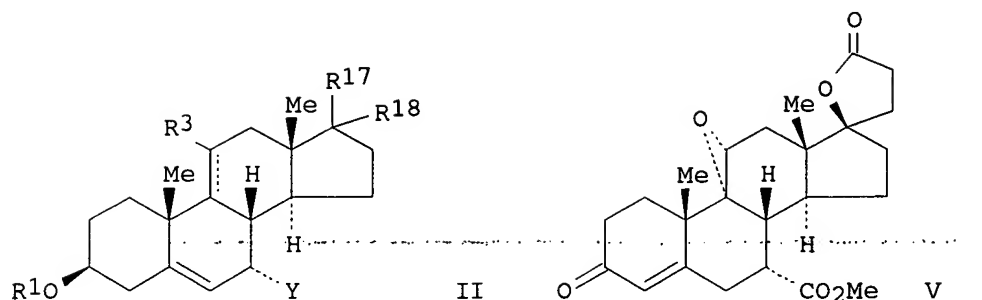
CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:414628 HCAPLUS
DOCUMENT NUMBER: 140:423864
TITLE: Processes for preparing C-7 substituted steroids from
5-androsten-3 β -ol-17-one
INVENTOR(S): Wuts, Peter Guillaume Marie
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097475	A1	20040520	US 2003-392945	20030321
CA 2500580	AA	20040527	CA 2003-2500580	20030321
WO 2004043986	A1	20040527	WO 2003-US7284	20030321
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1562974	A1	20050817	EP 2003-716433	20030321
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-424488P	P 20021107
			WO 2003-US7284	W 20030321
OTHER SOURCE(S):	CASREACT 140:423864; MARPAT 140:423864			
GI				



AB The present invention discloses a process for the transformation of 5-androsten-3 β -ol-17-one (I) to C-7 substituted steroids, such as II [R1 = H, COR2; R2 = alkyl, alkoxy; R3 = H, OR1; R17R18 = O, lactone; Y = CN, CH2CH:CH2, 5-(C1-6-alkyl)-2-furyl, 1-(C1-6-alkyl)-2-pyrrolyl, CHR4C(O)aryl, CHR4C(O)alkyl, CHR4C(O)X-aryl, CHR4C(O)X-alkyl; R4 = alkyl, aryl; X = O, S, dashed bond = single bond or double bond]. Thus, bioconversion of I to 5-androsten-3 β ,7 β -diol-17-one (III) was performed using a submerged culture of *Diplodia gossypina* ATCC 20571. III was subsequently converted to 5-androsten-3 β ,7 β ,11 α -triol-17-one (IV) using a submerged culture of *Aspergillus ochraceus* ATCC 18500. IV can also be obtained from II using a submerged culture of *Absidia coerulea* ATCC 6647. These intermediates are useful in the preparation of eplerenone (V).

IT 610785-40-5P 610785-47-2P 690958-83-9P

690958-98-6P

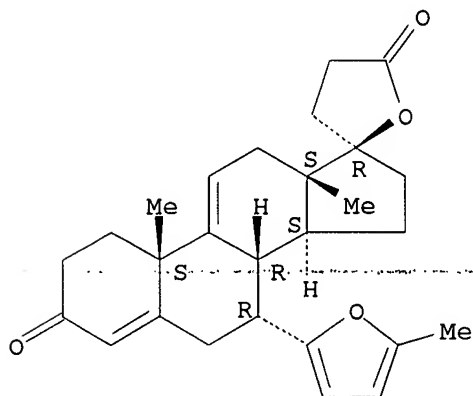
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of C-7 substituted steroids from 5-androsten-3 β -ol-17-one)

RN 610785-40-5 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

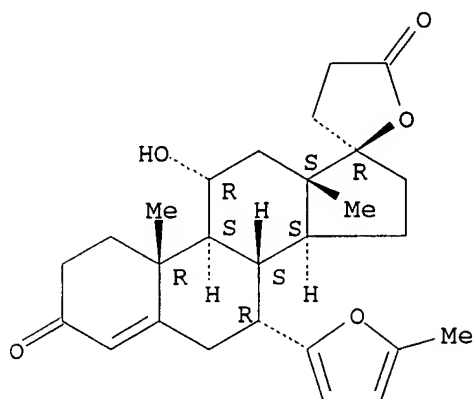


RN 610785-47-2 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX NAME)

NAME)

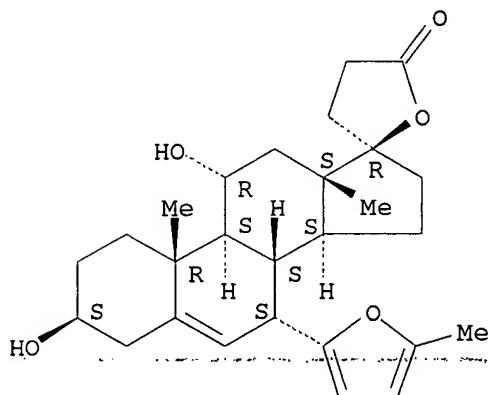
Absolute stereochemistry.



RN 690958-83-9 HCAPLUS

CN Pregn-5-ene-21-carboxylic acid, 3,11,17-trihydroxy-7-(5-methyl-2-furanyl)-
 , γ -lactone, (3 β ,7 α ,11 α ,17 α)- (9CI) (CA
 INDEX NAME)

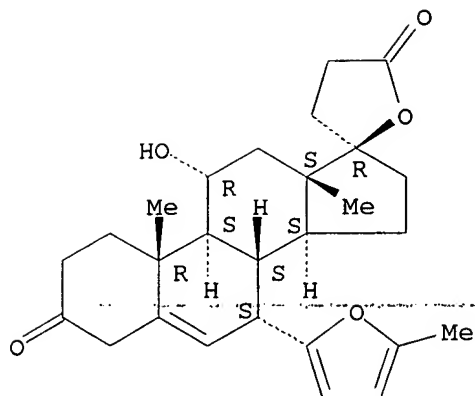
Absolute stereochemistry.



RN 690958-98-6 HCAPLUS

CN Pregn-5-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-
 oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082895	A2	20031009	WO 2003-US7793	20030321
WO 2003082895	A3	20040422		
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 PRIORITY APPLN. INFO.: US 2002-366784P P 20020322
 US 2002-411874P P 20020919
 US 2002-425596P P 20021112
 US 2003-392833 A 20030321
 WO 2003-US7793 W 20030321
 WO 2003-US29923 W 20030919
 OTHER SOURCE(S): CASREACT 139:307925; MARPAT 139:307925
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention involves novel intermediates I [R9 = H, OH, O-PG, F; PG = SiMe3, SiEt3, Ac, CHO; R11 = :O, H2, α R11-1 β R11-2, R11-5R11-6; R11-1 = H, OR11-3; R11-2 = H, OR11-4; R11-3 = H, PG; R11-4 = H, PG; R11-5R9 = bond, R11-6 = H or R11-6R9 = bond, R11-5 = H; R11-7R9 = O; R11-8 = H; R17 = :O, α R17-1 β R17-2, α R17-3 β R17-4, α R17-5 β R17-6, α R17-7 β R17-8, OCH(OR17-9)CH2CH2, α R17-11 β R17-12; R17-1 = H, C.tplbond.CH, CN, C.tplbond.CCH2 α R17-1-1, C.tplbond.CCH2O-PG, CH2CH2CO2-; R17-2 = OH; R17-3 = OH; R17-4 = COMe, COCH2OH, COCH2OC(:O)(CH2)0-3Me; R17-5R17-6 = α -CH2O- β ; R17-7R17-8 = α -OC(:O)CH2CH2- β ; R17-9 = H, C1-3-alkyl; R17-11 = (CH2)1-2CH:CH2; R17-12 = OH; R17-1-1 = H, Si(R17-1-2)3; R17-1-2 = C1-4-alkyl, CH(OEt)Me, THP], including an 7 α -substituted steroid, and various novel processes which are used to prepare known intermediates useful in the production of eplerenone, a pharmaceutical agent. Thus, pregnadienone spirolactone II was prepared from Δ 9-canrenone (III) via conjugate addition of 2-methylfuran in MeNO2 containing BF3·OEt2, ring cleavage with dibromantin in aqueous THF containing KOAc, ozonolysis (O3/O2) in CH2Cl2/O2(CHMe2)2 with Me2S quenching in CHCl3 and oxidation in CHCl3 with H2O2 in H2O containing KHCO3.

IT 610785-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

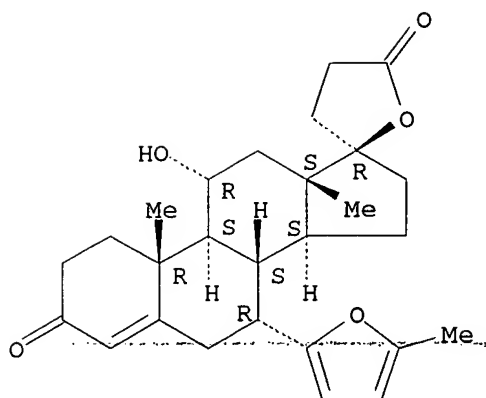
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RN 610785-47-2 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 610785-40-5P

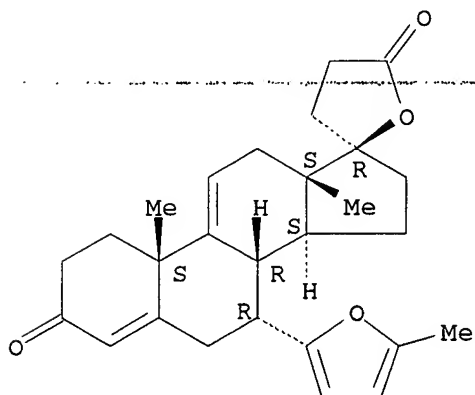
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(preparation and ring cleavage of, with dibromantin; preparation of
eplerenone
and its intermediates from Δ^9 -canrenone and other pregnanes)

RN 610785-40-5 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-
3-oxo-, γ -lactone, (7 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 610785-48-3P 610785-51-8P 610785-52-9P

610785-53-0P 610785-54-1P

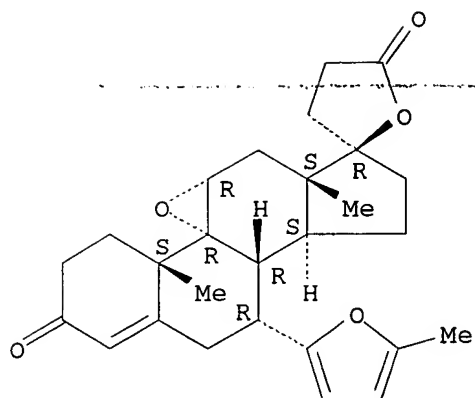
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)

(preparation of eplerenone and its intermediates from Δ^9 -canrenone and
other pregnanes)

RN 610785-48-3 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 9,11-epoxy-17-hydroxy-7-(5-methyl-2-
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(CA INDEX NAME)

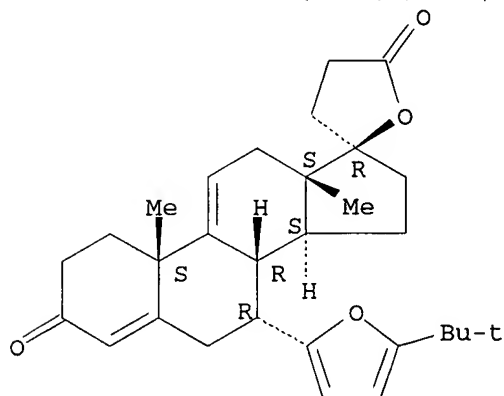
Absolute stereochemistry.



RN 610785-51-8 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid, 7-[5-(1,1-dimethylethyl)-2-furanyl]-17-hydroxy-3-oxo-, γ -lactone, (7 α ,17 α)- (9CI)
(CA INDEX NAME)

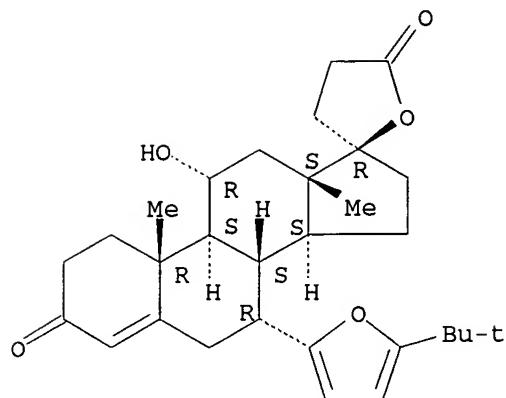
Absolute stereochemistry.



RN 610785-52-9 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 7-[5-(1,1-dimethylethyl)-2-furanyl]-11,17-dihydroxy-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI)
(CA INDEX NAME)

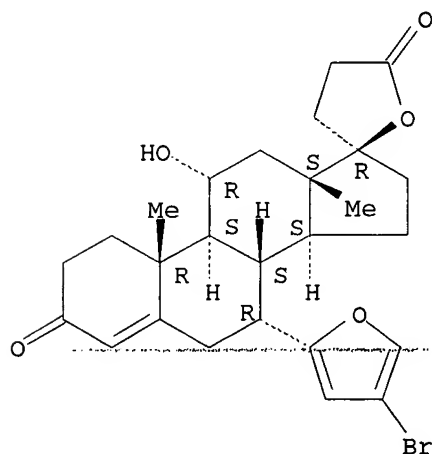
Absolute stereochemistry.



RN 610785-53-0 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 7-(4-bromo-2-furanyl)-11,17-dihydroxy-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX NAME)

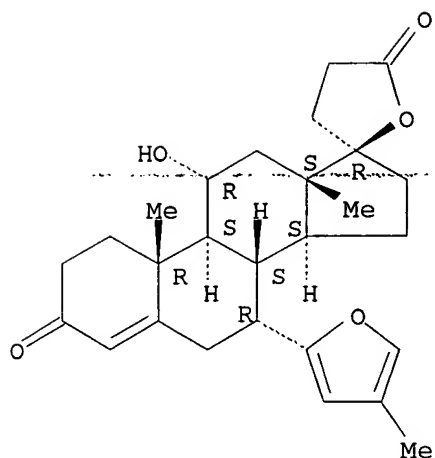
Absolute stereochemistry.



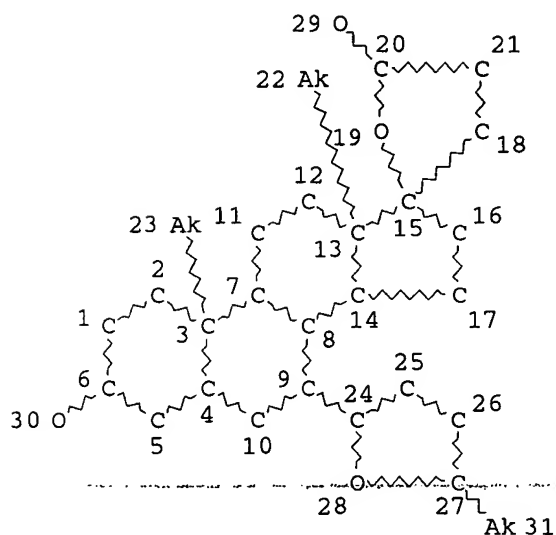
RN 610785-54-1 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(4-methyl-2-furanyl)-3-oxo-, γ -lactone, (7 α ,11 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



```
STEREO ATTRIBUTES: NONE
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L22          4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L21
L24          STR
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NODE ATTRIBUTES:

CONNECT IS E1 RC AT 22
 CONNECT IS E1 RC AT 23
 CONNECT IS E1 RC AT 29
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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE

L26 2 SEA FILE=MARPAT SSS FUL L24
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L27 ANSWER 1 OF 1 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:307923 MARPAT
 TITLE: C-17 spirolactonization and 6,7 oxidation of steroids
 INVENTOR(S): Miller, Paula C.; Pozzo, Mark J.; Chou, Shine K.
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA
 SOURCE: PCT Int. Appl., 169 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082894	A2	20031009	WO 2003-US7792	20030321
WO 2003082894	A3	20040415		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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CA 2480151 AA 20031009 CA 2003-2480151 20030321

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US 2004024202 A1 20040205 US 2003-392857 20030321

EP 1490390 A2 20041229 EP 2003-716548 20030321

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BR 2003008660 A 20050125 BR 2003-8660 20030321

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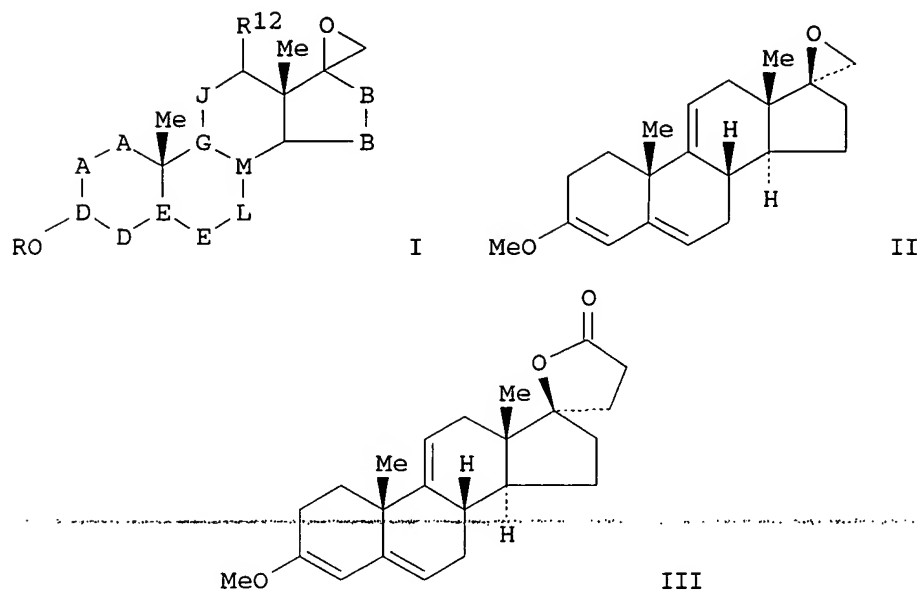
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WO 2003-US29923 20030919

OTHER SOURCE(S):

CASREACT 139:307923

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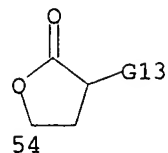


AB The steroids I (R = alkyl; A-A = CHR1-CHR2, CR1=CR2; B-B = CHR15-CHR16; G-J = CR9-CHR11 or C=CR11; D-D = CH-CHR4, C=CR4; E-E = CH-CHR6 or C=CR6; L-M = CHR7-CH, CR7=C; R12, R1, R2, R15, R16, R9, R11, R4, R6, R7 = H, halo, OH, alkyl, alkoxy, acyl, HOCH2, alkoxyalkyl, hydroxycarbonyl, alkoxy carbonyl, acyloxyalkyl, cyano, nitro, thioalkyl, aryl, aryloxy) in prepared via processes for the C-17 spiro lactonization and 6,7 oxidation of steroid compds. In certain preferred embodiments, the present invention provides for the preparation of steroid compds. which are useful in the preparation of Me hydrogen 9,11 α -epoxy-17 α -hydroxy-3-oxopregn-4-ene-7 α ,21-dicarboxylate γ -lactone (otherwise referred to as eplerenone or epoxymexrenone). Thus, treatment of 3-methoxyandrost-3,5,9(11)-trien-17-one with trimethylsulfonium methylsulfate in a reactor gave the oxirane derivative II, which reacted with di-Et malonate followed by decarboxylation to give the lactone III, which was converted to $\Delta^9(11)$ -canrenone by an oxidation process using chloranil.

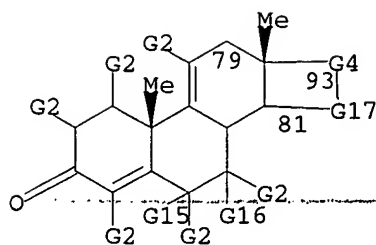
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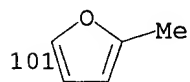
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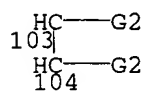
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G16 = 101



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Patent location:

claim 1

Note:

also incorporates claims 79, 82, 121, 124 and 187